A compound of the formula Ia or Ib:

$$R_{a}$$
 R_{b}
 R_{b

Subj

the sent the first male and the light of

. 10

m

15

20

wherein:

A is CH2, or a single bond;

 R_2 is selected from: R, OH, OR, CO_2H , CO_2R , COH, COR, SO_2R , CN; R_6 , R_7 and R_9 are independently selected from H, R, OH, OR, halo, amino, NHR, nitro, Me_3Sn ;

where R is a lower alkyl group having 1 to 10 carbon atoms, or an aralkyl group of up to 12 carbon atoms, whereof the alkyl group optionally contains one or more darbon-carbon double or triple bonds, which may form part of a conjugated system, or an aryl group of up to 12 carbon atoms; and is optionally substituted by one or more halo, hydroxy, amino, or nitro groups, and optionally containing one or more hetero atoms which may form part of, or be, a functional group;

and R₈ is selected from H, R, OH, OR, halo, amino, NHR, nitro, Me₃Sn, where R is as defined above, or the compound is a dimer with each monomer being the same or different and being of formula Ia or Ib, where the R₈ groups of the monomers form together a bridge having the formula -X-R'-X- linking the monomers, where R' is an alkylene chain containing from 3 to 12 carbon atoms, which chain may be interrupted by one or more hetero-atoms and/or aromatic rings and

Sub BS

5

may contain one or more carbon-carbon double or triple bonds, and each X is independently selected from O, S, or N; or R, and R, together form a group $-0-(CH_2)_p-0-$, where p is 1 or 2; except that in a compound of formula \mathbf{Ia} when A is a single bond, then R, is not $CH=CH(CONH_2)$ or $CN=CH(CONMe_2)$.

- 2. A compound of formula Ia according to claim 1, with the proviso that when A is a single bond, then R_2 is not $CH=CR^AR^B$, where R^A and R^B are independently selected from H, R^c , COR^c , $CONH_2$, $CONHR^c$, $CONR^c$, cyano or phosphonate, where R^c is an unsubstituted alkyl group having 1 to 4 carbon atoms.
- β 3. A compound according to either claim 1 or claim 2, wherein A is CH_2 .

- 4. A compound according to claim 3, wherein R_2 is CO_2H , CO_2R , CH_2OH , or CH_2OR .
- 5. A compound according to claim 4, wherein R_2 is CO_2Me , CO_2^*Bu , 20 CH_2OH , or CH_2OAc .

By bi

- 6. A compound according to claim 1 or claim 3, wherein A is a single bond, and R_2 is an aryl group, or an alkyl or alkaryl group which contains at least one double bond which forms part of a conjugated system with the double bond of the C-ring.
- 5/1/38

25

7. A compound according to any one of the preceding claims wherein R_6 , R_7 and R_9 and, unless the compound is a dimer, R_8 are independently selected from H and OR.

8. A compound according to claim 7, wherein R_6 , R_7 and R_9 and, unless the compound is a dimer, R_8 are independently selected from H, OMe and OCH₂Ph.

- 506
- 9. A compound according to claim 7, wherein R_7 and, unless the compound is a dimer, R_8 are OR, and R_6 and R_9 are H.
- 10. A compound according to claim 9, wherein R_7 and, unless the compound is a dimer, R_8 are independently either OMe or OCH₂Ph.

10

11. A compound according to my one of the preceding claims of formula Ia.

5. b 15. b9

12. A compound according to any one of the preceding claims which is a dimer, wherein the dimer bridge is of the formula $-0-(CH_2)_p-0-$, where p is from 1 to 12.

ij

13. A compound of formula II:

50h B10

$$\begin{array}{c} R_{s} \\ R_{s} \\ \end{array}$$

$$\begin{array}{c} R_{s} \\ \end{array}$$

wherein:

- 20 R', is selected from: O, CHR", where R", is selected from H, R, CO,R, COR, CHO, CO,H, halo;
 - R_6 , R_7 and R_9 are independently selected from H, R, OH, OR, halo, amino, NHR, nitro, Me₃Sn;

10 u u m 15 FL, m

20

45

5

where R is a lower alkyl group having 1 to 10 carbon atoms, or an aralkylackslashgroup of up to 12 carbon atoms, whereof the alkyl group optionally contains one or more carbon-carbon double or triple bonds, which may form part of a conjugated system, or an aryl group of up to 12 carbon atoms; and is optionally substituted by one or more halo, hydr λ xy, amino, or nitro groups, and optionally containing one or more hetero atoms which may from part of, or be, a functional group; and R_{B} is selected from H, R, OH, OR, halo, amino, NHR, nitro, Me₃Sn, where R is as defined above or the compound is a dimer with each monomer being the same or α ifferent and being of formula II, where the R_{s} groups of the monomers form together a bridge having the formula -X-R'-X- linking the monomers, where R' is an alkylene chain containing from 3 to 12 carbon atoms, which chain may be interrupted by one or more hetero-atoms and/or accomatic rings and may contain one or more carbon-carbon double or triple bonds, and each X is independently selected from O, S, or N; or R, and R, together form a group $-0-)CH_2)_p-0-$, where p is 1 or 2; except that:

- (i) when R', is CH-Et, and R, R, and R, are H, R, is not sibirosamine pyranoside; and
- (ii) when R', is CH-Me, and R, and R, are H, \R_7 and R, are not both H or both OMe, or OMe and OH respectively.
- A compound according to claim 3, wherein R'2 is O, CH2 or 25 CHCH3.

50b

BIL

15. A compound according to either claim 13 or claim 14, wherein R_6 , R_7 and R_8 and, unless the compound is a dimer, R_8 are independently selected from H OR or a halogen atom.

5 506 B12 16. A compound according to claim 15, wherein R_6 , R_7 and R_8 and, unless the compound is a dimer, R_8 are independently selected from H, OMe and OCH, Ph, and I.

17. A compound according to claim 15, wherein R_7 and, unless the compound is a dimer, R_8 are independently OR or a halogen atom and R_6 and R_9 are H.

505 BJ4 15 18. A compound according to claim 17, wherein R_7 and, unless the compound is a dimer, R_8 are independently selected from OMe, OCH₂Ph or I.

500

19. A compound according to any one of claims 13 to 18 which is a dimer, wherein the dimer bridge is of the formula $-0-(CH_2)_p-0-$, where p is from 1 to 12.

20

20. A compound of the formula III:

50b B16

wherein:

 R_6 , R_7 and R_9 are independently selected from H, R, OH, OR, halo, amino, NHR, nitro, Me₃Sn;

H

where R is a lower alkyl group having 1 to 10 carbon atoms, or an aralk l group of up to 12 carbon atoms, whereof the alkyl group optionally contains one or more carbon-carbon double or triple bonds, which may form part of a conjugated system, or an aryl group of up to 12 carbon atoms; and is optionally substituted by one or more halo, hydroxy, amino, or nitro groups, and optionally containing one or more hetero atoms which may from part of, or be, a functional group and R_8 is selected from H, R, OH, OR, halo, amino, NHR, nitro, Me₃Sn, where R is as defined above or the compound is a dimer with each monomer being the same or different and being of formula III, where the R_{s} groups of the monomers form together a bridge having the formula -X-R'-X- linking the monomers, where R' is an alkylene chain containing from 3 to 12 carbon atoms, which chain may be interrupted by one or more hetero-atoms and/or aromatic rings and may contain one or more carbon-carbon double or triple bonds, and each X is group $-0-)CH_2)_p-0-$, where p is 1 or 2; wherein at least one of R_6 , R_7 , R_8 and R_9 are not H;

20 except that:

- (i) when R_6 and R_9 are H, R_7 and R_8 are not both OMe, OMe and OBn respectively, or OMe and OH respectively;
- (ii) when R_{6} and R_{7} are H, R_{8} and R_{7} are not Me and OH respectively;
- (iii) when three of R_6 , R_7 , R_8 and R_9 , are H, the other is not Me;
 - (iv) when R_6 , R_7 , and R_8 are H, R_9 is not OMe;
 - (v) when R_6 , R_8 and R_9 are H, R_7 is not OMe; and

5 UK

(vi) when R_6 , and R_7 are H and R_7 is OMe, the compound is not a dimer.

50h 501

group.

25

- 21. A compound according to claim 20, wherein only one of R_6 , R_7 , R_8 and R_8 is H.
- 22. A compound according to claim 21, wherein those of R_6 , R_7 , R_9 and, unless the compound is a dimer, R_6 which are not H are OR.
- 23. A compound according to claim 22, wherein those of R₆, R₇, R₉ and, unless the compound is a dimer, R₈ which are not H are selected from OMe, and OBn.
- 24. A compound according to either claim 20 or claim 21, wherein at least one of R_6 , R_7 , R_8 and R_9 is a dimer, is NH_2 .
- 25. A compound ascording to claim 20, claim 21 or claim 24,
 wherein at least one of R₆, R₇, R₈ and R, is an aryl group, preferably
 of up to 12 carbon atoms, which is optionally substituted by one or
 more halo, hydroxy, amino, or nitro groups, and optionally contains
 one or more hetero atoms which may from part of, or be, a functional
 - 26. A compound according to claim 25, wherein at least one of R_6 , R_7 , R_8 and R_9 , is a phenyl group, optionally substituted by one or more methoxy, ethoxy or nitro groups.
 - 27. A compound according to claim 26, wherein at least one of R_6 , R_7 , R_8 and R_9 , is selected from: Ph, p-MeO-Ph, m-NO₂-Ph and p-NO₂-Ph.

52b

28. A compound according to any one of claims 20 to 27 where the compound is a dimer, wherein the dimer bridge is of the formula $-0-(CH_2)_p-0-$, where p is from 1 to 12.

5 29. A compound of formula IV:

50b

15

wherein:

 $R_{6},\ R_{7}$ and R_{9} are independently selected from H, R, OH, OR, halo, amino, NHR, nitro, Me $_{3}{\rm Sn};$

where R is a lower alkyl group having 1 to 10 carbon atoms, or an aralkyl group of up to 12 carbon atoms, whereof the alkyl group optionally contains one or more carbon-carbon double or triple bonds, which may form part of a conjugated system, or an aryl group of up to 12 carbon atoms; and is optionally substituted by one or more halo, hydroxy, amino, or nitro groups, and optionally

containing one or more hetero atoms which may form part of, or be, a functional group;

 R_8 ' and R_8 " are either independently selected from H, R or together form a cyclic amine; and

n is from 1 to 7.

20

30. A compound according to claim 29, wherein one of R's and R"s is a nitrogen protecting group.

50b

31. A compound according to either claim 29 or 30, wherein R_7 is an electron withdrawing group.

50b 5/320

 32 . A compound according to any one of claims 29 to 31, wherein $_{6}$ and $_{8}$, are selected from H and OR.

Sul

33. A compound according to claim 32, wherein R_6 and R_9 are selected from OMe, OEt and OBn.

50b

34. A compound according to any one of claims 30 to 33, wherein n is 1 to 3.

15 C

35. A compound according to any one of the preceding claims wherein R is selected from a lower alkyl group having 1 to 10 carbon atoms, or an aralkyl group of up to 12 carbon atoms, or an aryl group of up to 12 carbon atoms, optionally substituted by one or more halo, hydroxy, amino, or nitro groups.

36. A compound according to claim 35, wherein R is selected from a lower alkyl group having 1 to 10 carbon atoms optionally substituted by one or more halo, hydroxy, amino, or nitro groups.

Sub

20

37. A compound according to claim 36, wherein R is an unsubstituted straight or branched chain alkyl having 1 to 10 carbon atoms.

25

50b 38. The use of a compound according to any one of the preceding β^{23} claims in a method of therapy.

39. A pharmaceutical composition comprising a compound according to any one of claims 1 to 37 and pharmaceutically acceptable carrier or diluent.

5 B14 40. The use of a compound according to any one of claims 1 to 37 to prepare a medicament for the treatment of a gene-based disease.

The use of a compound according to any one of claims 1 to 37 35 to prepare a medicament for the treatment of a viral, parasitic or bacterial infection.

9 42. A process for preparing a compound according to any one of claims 1 to 37.

43. The use of a compound according to any one of claims 1 to 37 for the preparation of a medicament for the treatment of cisplatin-refactory disease.

44. A method of inhibiting the growth of cisplatin-refactory cells which method comprises treating said cells with a compound according to any one of claims 1 to 37.

45. A method according to claim 44 wherein said compound is SJG136 1,1'-[[(Propane-1,3-diyi)dioxy]bis[(11as)-7-methoxy-2methylidene-1,2,3,11a-tetrahydro-5H-pyrrolo[2,1c][1,4]benzodiazepin-5-one].

add B29 add C15 add